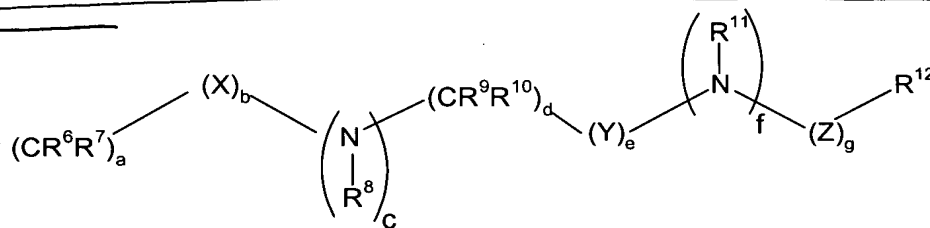


A<sup>1</sup>  
 the cycloalkyl group is optionally substituted by deuterium, hydroxy, amino, trifluoromethyl, (C<sub>1</sub>-C<sub>6</sub>)acyloxy, (C<sub>1</sub>-C<sub>6</sub>)acylamino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino, cyano, cyano(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, nitro, nitro(C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)acylamino;

Sub  
 A<sup>1</sup>  
 R<sup>5</sup> is (C<sub>3</sub>-C<sub>9</sub>)heterocycloalkyl wherein the heterocycloalkyl groups must be substituted by one to five carboxy, cyano, amino, deuterium, hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, halo, (C<sub>1</sub>-C<sub>6</sub>)acyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-CO-, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)acyloxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, nitro, cyano(C<sub>1</sub>-C<sub>6</sub>)alkyl, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, nitro(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethyl, trifluoromethyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)acylamino, (C<sub>1</sub>-C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)acylamino, amino(C<sub>1</sub>-C<sub>6</sub>)acyl, amino(C<sub>1</sub>-C<sub>6</sub>)acyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)acyl, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)acyl, R<sup>15</sup>R<sup>16</sup>N-CO-O-, R<sup>15</sup>R<sup>16</sup>N-CO-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S(O)<sub>m</sub>, R<sup>15</sup>R<sup>16</sup>NS(O)<sub>m</sub>, R<sup>15</sup>R<sup>16</sup>NS(O)<sub>m</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>15</sup>S(O)<sub>m</sub>R<sup>16</sup>N, R<sup>15</sup>S(O)<sub>m</sub>R<sup>16</sup>N(C<sub>1</sub>-C<sub>6</sub>)alkyl, wherein m is 0, 1 or 2 and R<sup>15</sup> and R<sup>16</sup> are each independently selected from hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl, or a group of the formula



wherein a is 0, 1, 2, 3 or 4;--

(2) Page 3, lines 7-25:

--Z is carbonyl, C(O)O-, or S(O)<sub>n</sub> wherein n is 0, 1 or 2;

A<sup>2</sup>

X

$R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and  $R^{11}$  are each independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted by deuterium, hydroxy, amino, trifluoromethyl, (C<sub>1</sub>-C<sub>6</sub>)acyloxy, (C<sub>1</sub>-C<sub>6</sub>)acylamino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino, cyano, cyano(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, nitro, nitro(C<sub>1</sub>-C<sub>6</sub>)alkyl or (C<sub>1</sub>-C<sub>6</sub>)acylamino;

A<sup>2</sup>  $R^{12}$  is carboxy, cyano, amino, oxo, deuterium, hydroxy, trifluoromethyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, halo, (C<sub>1</sub>-C<sub>6</sub>)acyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub> amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-CO-, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>) alkynyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)acyloxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, nitro, cyano(C<sub>1</sub>-C<sub>6</sub>)alkyl, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, nitro(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethyl, trifluoromethyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)acylamino, (C<sub>1</sub>-C<sub>6</sub>)acylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)acylamino, amino(C<sub>1</sub>-C<sub>6</sub>)acyl, amino(C<sub>1</sub>-C<sub>6</sub>)acyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)acyl, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)acyl,  $R^{15}R^{16}N-CO-O-$ ,  $R^{15}R^{16}N-CO-(C_1-C_6)alkyl$ ,  $R^{15}C(O)NH$ ,  $R^{15}OC(O)NH$ ,  $R^{15}NHC(O)NH$ , (C<sub>1</sub>-C<sub>6</sub>)alkyl-S(O)<sub>m</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S(O)<sub>m</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl,  $R^{15}R^{16}NS(O)_m$ ,  $R^{15}R^{16}NS(O)_m(C_1-C_6)alkyl$ ,  $R^{15}S(O)_mR^{16}N$ , or  $R^{15}S(O)_mR^{16}N(C_1-C_6)alkyl$ , wherein m is 0, 1 or 2 and  $R^{15}$  and  $R^{16}$  are each independently selected from hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

(3) Page 3, line 26 through Page 4, line 26:

A<sup>3</sup>  $R^2$  and  $R^3$  are each independently selected from the group consisting of hydrogen, deuterium, amino, halo, hydroxy, nitro, carboxy, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, trifluoromethyl, trifluoromethoxy, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, and (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl wherein the alkyl, alkoxy or cycloalkyl groups are optionally substituted by one to three groups selected from halo, hydroxy, carboxy, amino (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino, (C<sub>5</sub>-C<sub>9</sub>)heteroaryl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl, (C<sub>3</sub>-C<sub>9</sub>)cycloalkyl or (C<sub>6</sub>-C<sub>10</sub>)aryl; or  $R^2$  and  $R^3$  are each independently (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino, (C<sub>6</sub>-C<sub>10</sub>)arylamino, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>6</sub>-C<sub>10</sub>)arylthio, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfinyl, (C<sub>6</sub>-C<sub>10</sub>)arylsulfinyl, (C<sub>1</sub>-

X

A<sup>3</sup>  
C<sub>6</sub>alkylsulfonyl, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonyl, (C<sub>1</sub>-C<sub>6</sub>)acyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-CO-, (C<sub>5</sub>-C<sub>9</sub>)heteroaryl, (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl or (C<sub>6</sub>-C<sub>10</sub>)aryl wherein the heteroaryl, heterocycloalkyl and aryl groups are optionally substituted by one to three halo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-CO-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkoxy, carboxy, carboxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, carboxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, benzyloxycarbonyl(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>6</sub>-C<sub>10</sub>)aryl, amino, amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonylamino, (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonylamino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, carboxy, carboxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy-CO-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-CO-NH-, cyano, (C<sub>5</sub>-C<sub>9</sub>)heterocycloalkyl, amino-CO-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-CO-NH-, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino-CO-NH-, (C<sub>6</sub>-C<sub>10</sub>)arylamino-CO-NH-, (C<sub>5</sub>-C<sub>9</sub>)heteroarylamino-CO-NH-, (C<sub>1</sub>-C<sub>6</sub>)alkylamino-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, ((C<sub>1</sub>-C<sub>6</sub>)alkyl)<sub>2</sub>amino-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>6</sub>-C<sub>10</sub>)arylamino-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>5</sub>-C<sub>9</sub>)heteroarylamino-CO-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonylamino, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonyl, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonylamino, (C<sub>6</sub>-C<sub>10</sub>)arylsulfonylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonylamino, (C<sub>1</sub>-C<sub>6</sub>)alkylsulfonylamino(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>5</sub>-C<sub>9</sub>)heteroaryl or (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl.--

(4) Page 5, line 28 through page 6, line 14:

AA  
Sub  
N<sup>3</sup>  
--(C<sub>3</sub>-C<sub>9</sub>)Heterocycloalkyl when used herein refers to pyrrolidinyl, tetrahydrofuranyl, tetrahydropyranyl, aziridinyl, oxiranyl, isoxazolidinyl, 1,3-oxazolidin-3-yl, isothiazolidinyl, 1,3-thiazolidin-3-yl, 1,2-pyrazolidin-2-yl, 1,3-pyrazolidin-1-yl, piperidinyl, thiomorpholinyl, morpholinyl, piperazinyl, etc. One of ordinary skill in the art will understand that the connection of said (C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl rings is through a carbon or a sp<sup>3</sup> hybridized nitrogen heteroatom.

IX